

10/534,191

=> file caplus

FILE 'CAPLUS' ENTERED AT 14:15:35 ON 13 JUL 2006

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FILE COVERS 1907 - 13 Jul 2006 VOL 145 ISS 3

FILE LAST UPDATED: 12 Jul 2006 (20060712/ED)

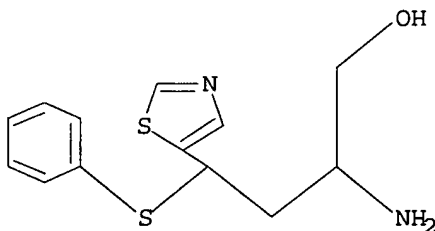
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=> d que

L1

STR



Structure attributes must be viewed using STN Express query preparation.

L3 6 SEA FILE=REGISTRY SSS FUL L1

L4 1 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:412927 CAPLUS

DOCUMENT NUMBER: 140:423666

TITLE: A preparation of antiinflammatory 3-arylthio-3-thiazolyl-alkylamine derivatives

INVENTOR(S): Stonehouse, Jeffrey

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041794	A1	20040521	WO 2003-SE1712	20031106

WO 2004041794 C1 20050310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003278667 A1 20040607 AU 2003-278667 20031106

EP 1562920 A1 20050817 EP 2003-770201 20031106

EP 1562920 B1 20060628

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006506414 T2 20060223 JP 2004-549780 20031106

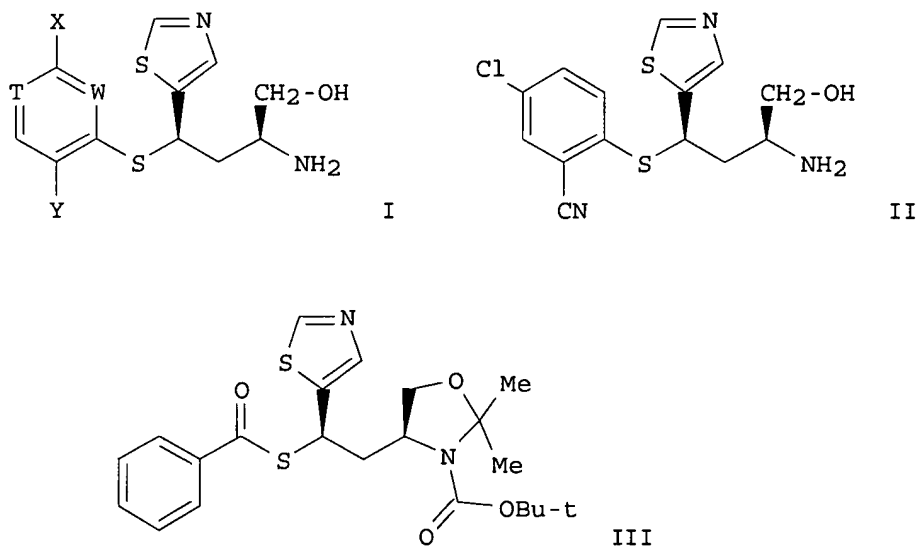
US 2006040992 A1 20060223 US 2005-534191 20050506

PRIORITY APPLN. INFO.: SE 2002-3304 A 20021107

WO 2003-SE1712 W 20031106

OTHER SOURCE(S): MARPAT 140:423666

GI



AB The invention relates to 3-arylthio-3-thiazolyl-alkylamine derivs. of formula I [wherein: T and W independently represent CR₁ or N, when more than one R₁ group is present, each may be selected independently; X and R₁ independently represent H, Cl-4alkyl, halogen, CN, or C.tplbond.CH, etc.; Y is Cl-4alkyl, Cl-4alkoxy, halogen, CN, NO₂, or CHO, etc.], useful as antiinflammatory agents. The compds. are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain. For instance, arylthio(thiazolyl)alkylamine derivative II (nitric oxide synthase inhibition IC₅₀ < 100 μM) was prepared via reaction of thiazole derivative III with 5-chloro-2-fluorobenzonitrile, and subsequent hydrolysis of the obtained product (example 5, no yield data).

IT 691010-03-4 691010-05-6 691010-28-3
691010-31-8 691010-33-0 691010-49-8

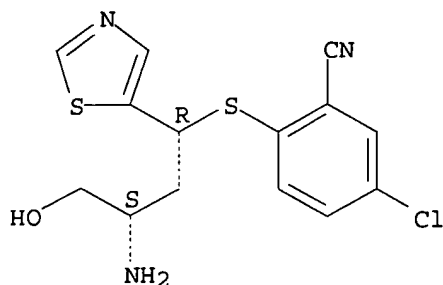
10/534,191

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use);
BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of antiinflammatory arylthio(thiazolyl)alkylamine derivs.)

RN 691010-03-4 CAPLUS

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-5-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

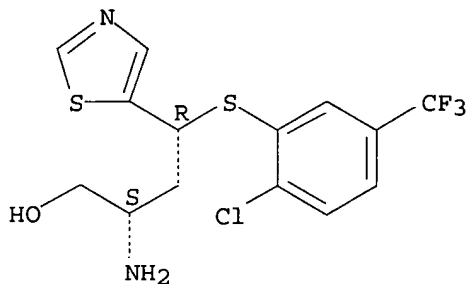


● 2 HCl

RN 691010-05-6 CAPLUS

CN 5-Thiazolebutanol, β -amino- δ -[[2-chloro-5-(trifluoromethyl)phenyl]thio]-, monohydrochloride, (β S, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



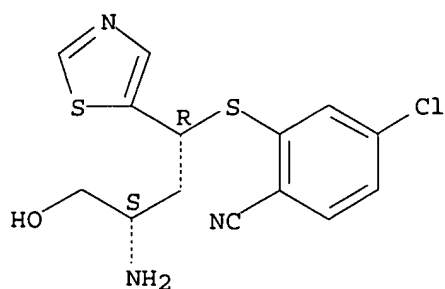
● HCl

RN 691010-28-3 CAPLUS

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-4-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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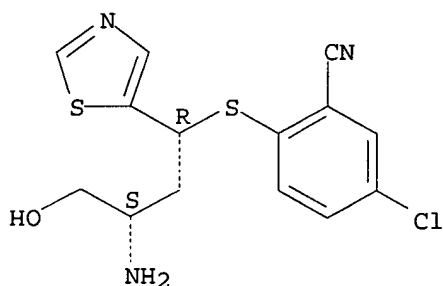


● 2 HCl

RN 691010-31-8 CAPLUS

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-5-chloro- (9CI) (CA INDEX NAME)

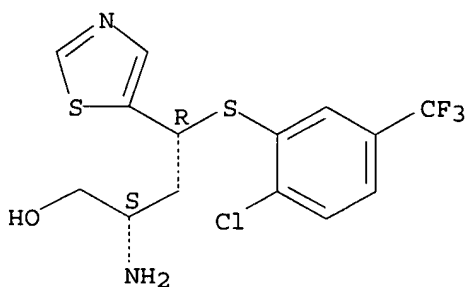
Absolute stereochemistry.



RN 691010-33-0 CAPLUS

CN 5-Thiazolebutanol, β -amino- δ -[[2-chloro-5-(trifluoromethyl)phenyl]thio]-, (β S, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

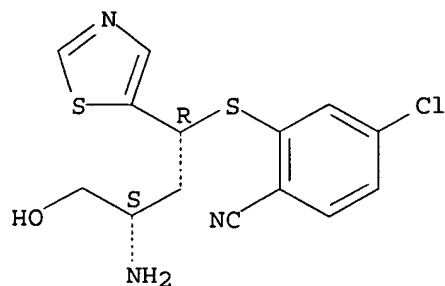


RN 691010-49-8 CAPLUS

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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=> => file uspatall

FILE 'USPATFULL' ENTERED AT 14:16:13 ON 13 JUL 2006

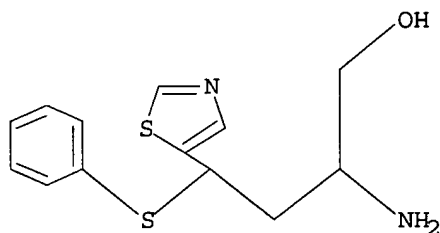
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:16:13 ON 13 JUL 2006

CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 6 SEA FILE=REGISTRY SSS FUL L1

L5 1 SEA L3

=> d l5 ibib abs hitstr

L5 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2006:47518 USPATFULL

TITLE: Antiinflammatory 3-arylthio-3-thiazolyl-alkylamines

INVENTOR(S): Stonehouse, Jeffrey, AstraZeneca, R&D Charnwood,
Bakewell Road, Loughborough, Leicestershire, UNITED
KINGDOM LE11 5RH

PATENT ASSIGNEE(S): AstraZeneca AB, Sodertalje, SWEDEN, SE-151 85 (non-U.S.
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006040992	A1	20060223
APPLICATION INFO.:	US 2003-534191	A1	20031106 (10)
	WO 2003-SE1712		20031106
			20050506 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	SE 2002-3304	20021107
DOCUMENT TYPE:	Utility	

10/534,191

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: FISH & RICHARDSON P.C., P.O BOX 1022, MINNEAPOLIS, MN,
55440-1022, US

NUMBER OF CLAIMS: 18

EXEMPLARY CLAIM: 1

LINE COUNT: 709

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are provided novel compounds of formula (I) wherein T, X, Y and W are as defined in the specification, and pharmaceutically acceptable salts thereof, and enantiomers and racemates thereof; together with processes for their preparation, compositions containing them and their use in therapy. The compounds are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 691010-03-4 691010-05-6 691010-28-3

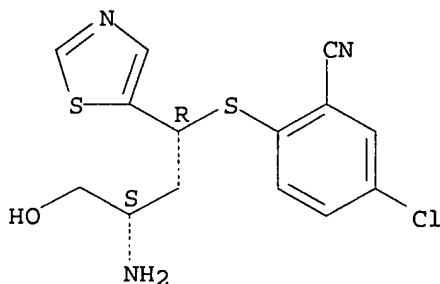
691010-31-8 691010-33-0 691010-49-8

(preparation of antiinflammatory arylthio(thiazolyl)alkylamine derivs.)

RN 691010-03-4 USPATFULL

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-5-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RN 691010-05-6 USPATFULL

CN 5-Thiazolebutanol, β -amino- δ -[[2-chloro-5-(trifluoromethyl)phenyl]thio]-, monohydrochloride, (β S, δ R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Chemical structure of compound 10: A thiazole ring substituted with a thioether group (-SR) at the 2-position and a hydroxyethylthio group (-SCH₂CH₂OH) at the 4-position. The thioether group is further substituted with a 2-chloro-4-(trifluoromethyl)phenyl ring.

```
RN      691010-28-3  USPATFULL
CN      Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-4-
        chloro-, dihydrochloride (9CI)  (CA INDEX NAME)
```

N[C@@H](CO)S[C@H](R)Sc1ccc(Cl)cc1C#N

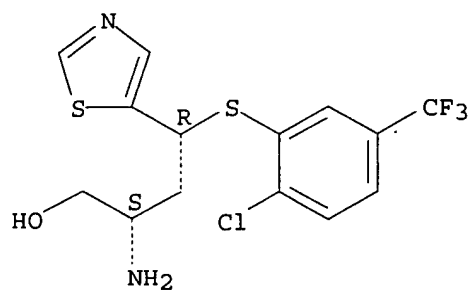
RN 691010-31-8 USPATFULL
CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-5-chloro- (9CI) (CA INDEX NAME)

N[C@@H](CS[C@H](c1cc[nH]1)RSC2=CC=C(C=C2)C#N)CO

RN 691010-33-0 USPATFULL
CN 5-Thiazolebutanol, β -amino- δ -[[2-chloro-5-(trifluoromethyl)phenyl]thio]-, (β S, δ R)- (9CI) (CA INDEX NAME)

10/534,191

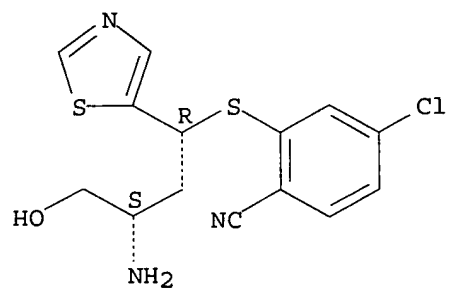
Absolute stereochemistry.



RN 691010-49-8 USPATFULL

CN Benzonitrile, 2-[[[(1R,3S)-3-amino-4-hydroxy-1-(5-thiazolyl)butyl]thio]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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